WHAT IS CLAIMED IS:

1. A method for identifying compounds that bind to a target of interest, comprising:

(a) assembling a first set of target binding ligands that compete for non-covalent binding to a first binding site on the target;

(b) assembling a second set of target binding ligands that compete for non-covalent binding to a second binding site on the target;

(c) chemically linking at least one member of the first set and at least one member of the second set to provide a first set of linked ligands; and

(d) screening the set of linked ligands to identify members thereof that bind to the target.

2. The method of claim 1, wherein assembling step (a) or assembling step (b) comprises measuring non-covalent binding of target binding ligands to the target by mass spectroscopy.

3. The method of claim 2, wherein target binding ligands having a disassociation constant, K_d , equal to 500 μM or less are assembled into a set.

4. The method of claim 2 or 3, wherein the identified linked ligands have a disassociation constant, K_d, equal to 500 μM or less.

5. The method of any of the previous claims, wherein the first binding site is the same as the second binding site.

6. The method of any of the previous claims, wherein the first binding site is not the same as the second binding site.

7. The method of any of the previous claims, wherein assembling step (b) comprises determining binding of target binding ligands to the target having at least one member of the first set of target binding ligands bound thereto.

8. The method of any of the previous claims, wherein the target is a target biomolecule.

9. The method of claim 8, wherein the target biomolecule is a polypeptide, protein, DNA, RNA or polysaccharide.

10. The method of any of the previous claims, wherein step (c) comprises forming a covalent bond linking the member of the first set and the member of the second set.

11. The method of any of the previous claims, wherein screening step (d) comprises a biological measurement.

12. The method of any of the previous claims, wherein a member of the first set and a member of the second set bind to the target in a 1:1 ratio.

13. The method of any of the previous claims, wherein further comprises assembling a third set of target binding ligands that compete for binding to the first binding site on the target and a fourth set of target binding ligands that compete for binding to the first binding site on the target, where members of each of the third set and the fourth set compete with members of the first set for binding to the first binding site, but members of the third set do not compete with members of the fourth set for binding to the target.

14. The method of claim 13 further comprises covalently linking at least one member of the third set or the fourth set and at least one member of the second set to provide a second set of linked ligands; and screening the second set of linked ligands to identify members thereof that bind to the target.

15. A method of preparing a drug lead compound that binds to a target, comprising covalently linking at least one member of a first set of target binding ligands that compete for non-covalent binding to a first binding site on the target and at least one member a second set of target binding ligands that compete for non-covalent binding to a second binding site on the target set to provide a first set of linked ligands.

16. The method of claim 15, wherein the first binding site is the same as the second binding site.

17. The method of claim 15 or 16, wherein the first binding site is not the same as the second binding site.

18. The method of any of claims 15-17, further comprises screening the set of linked ligands to identify members thereof that bind to the target.

19. The method of any of claims 15-18, further comprises covalently linking at least one member of a third set of target binding ligands that compete for binding to the first binding site on the target or at least one member of a fourth set of target binding ligands that compete for binding to the first binding site on the target to form a second set of linked ligands, where members of each of the third set and the fourth set compete with members of the first set for binding to the first binding site, but members of the third set do not compete with members of the fourth set for binding to the target.

20. A method for inhibiting the binding of a second biomolecule to a first biomolecule, comprising:

contacting the first and second biomolecules with a binding inhibitory amount of a compound identified according to any of claims 1-19, where the compound binds to the first biomolecule and inhibits the binding of the second biomolecule.